=> s 11

SAMPLE SEARCH INITIATED 16:26:23 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 763 TO ITERATE

100.0% PROCESSED 763 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 13603 TO 16917 PROJECTED ANSWERS: 5 TO 234

1.2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:26:29 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 15491 TO ITERATE

100.0% PROCESSED 15491 ITERATIONS

107 SEA SSS FUL L1

107 ANSWERS

5 ANSWERS

SEARCH TIME: 00.00.01

=> file caplus

SINCE FILE TOTAL ENTRY SESSION 178.36 178.57 COST IN U.S. DOLLARS FULL ESTIMATED COST

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FILE COVERS 1907 - 6 Jan 2008 VOL 148 ISS 2 FILE LAST UPDATED: 4 Jan 2008 (20080104/ED)

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http://www.cas.org/infopolicv.html

=> s 13

L4 9 L3

=> d 14 1-9 fhitstr

#### 10/572671

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of aminophenylsulfonylquinolines from

fluorophenylsulfonylquinolines and amines in the presence of base and solvent)

RN 607742-69-8 CAPLUS

CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

IT 927891-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoquinolines and related compds. 5-ht5 receptor inhibitors)

RN 927891-10-9 CAPLUS

CN 2-Quinolinamine, 3-[(2-methoxyphenyl)methyl]-6-(4-methyl-1-piperazinyl)-, 2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 927891-09-6 CMF C22 H26 N4 O

CM 2

CRN 6915-18-0 CMF C4 H4 O4

and

HO2C-CH-CH-CO2H

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

IT 607743-50-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of isotopomeric piperazine-containing ligands labeling

diagnostic imaging of 5-HT6 receptors)

RN 607743-50-0 CAPLUS CN Ouingline, 3-1(3-fl

Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

3-phenylsulfonyl-8-piperazin-1-ylquinoline)

RN 607742-69-8 CAPLUS

CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

IT 849586-10-3P, 3-[(3-Chloropheny1)methy1]-8-(1-

RN

CN

piperazinyl)quinoline monohydrochloride
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperazinyl-quinolines for treating CNS disorders)
849586-10-3 CAPLUS
Quinoline, 3-[(3-chlorophenyl)methyl]-8-(1-piperazinyl)-,

HN CH2 C1

monohydrochloride (9CI) (CA INDEX NAME)

HC1

(CA INDEX NAME)

L4 ANSMER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

IT 848396-13-4P, 8-[4-(4-F]uorobenzyl)piperazin-1-yl]-3phenylsulfonylquinoline

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Inerapeutic use); BIOL (Biological study); PREP
(Freparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders)

RN 848396-13-4 CAPLUS

Quinoline, 8-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-3-(phenylsulfonyl)-

CN

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-ΙT piperazinyl)quinoline monohydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)

RN 847727-11-1 CAPLUS

CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

T. 4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5-

vll-1,4-diazepane-1-carboxvlate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

636997-89-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxvlic acid, 4-[3-[[4-(1,1dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN L4 607743-10-2P

ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

RN 607743-10-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

#### => d 14 1-9 bib abs fhitstr

- L4ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:410374 CAPLUS AN
- DN 146:402011
- Process for preparation of 8-amino-3-phenylsulfonylquinolines from ΤI 8-fluoro-3-phenylsulfonylquinoline and amines in the presence of base and solvent.
- Wade, Charles Edward TN
- PA Glaxo Group Limited, UK
- SO PCT Int. Appl., 26pp. CODEN: PIXXD2
- DT Patent

LA FAN.	Engl CNT 1																	
	PATE	ENT I	.00			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
							_									-		
PI	WO 2	2007	0392	38		A1		2007	0412		WO 2	006-	EP94	60		2	0060	926
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KΡ,
			KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
			MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
			RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
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			KG,	KZ,	MD,	RU,	TJ,	TM										
PRAI	GB 2	2005	-197	58		A		2005	0928									
OS GI	CASI	REAC'	Г 14	6:40	2011	; MAI	RPAI	146	:402	011								

R1R2N

- AB Title compds. [I; R1, R2 = H, alkyl; NR1R2 = (substituted) 4-7 membered heterocyclyl], were prepared by reaction of 8-fluoro-3phenylsulfonylquinoline with R1R2NH (variables as above) in the presence of base and solvent. Thus, 8-fluoro-3-phenylsulfonylquinoline (preparation given), piperazine, and K2CO3 were heated together in n-propanol at 100° for 23 h to give 86% 3-phenylsulfonyl-8-piperazin-1ylquinoline. Polymorphic forms II and III of the latter were prepared via
- 607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)

(preparation of aminophenylsulfonylquinolines from

fluorophenylsulfonylquinolines and amines in the presence of base and solvent)

RN 607742-69-8 CAPLUS

CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:226817 CAPLUS

DN 146:295780

TI Preparation of 2-aminoquinolines and related compounds 5-ht5 receptor inhibitors

IN Amberg, Wilhelm; Netz, Astrid; Kling, Andreas; Ochse, Michael; Lange, Udo; Hutchins, Charles W.; Garcia-Ladona, Francisco Javier; Wernet, Wolfgang

PA Abbott G.m.b.H. & Co. K.-G., Germany

SO PCT Int. Appl., 298pp.

CODEN: PIXXD2

DT Patent

LA		man																
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		ENT I	.OV			KIN	D	DATE		i						D	ATE	
							-											
PI	WO	2007	0229	46		A1		2007	0301	1	WO 2	006-	EP82:	22		2	0060	821
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
			KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,
			MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
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			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KZ,	MD,	RU,	ΤJ,	TM										
PRAI	DE	2005	-102	0050	4060	2 A		2005	0821									
	US	2005	-711	075P		P		2005	0824									
	DE	2006	-102	0060	0591	6 A		2006	0209									
OS	MAE	RPAT :	146:	2957	B 0													

G1

AB Title compde. I [R1, R2 = H, electron lone pair, OH, etc.; R3 = H, NO2, NN2, etc.; R4 = a bond in a ring to X5 with provisos; R5 = H, lone electron pair, O-alkyl, etc.; R6, R7, R8, R9 = free electron lone pair or or or with provisos, etc.; W = substituted phenyl; Z = (CRz1Rz2)a; Rz1, Rz2 = H, halo, OH, etc.; X5 = C, N; X1 = C, N; X2 = C, N; X3 = C, N; X4 = C, N] and their pharmaceutically acceptable salts were prepared For example, aminoquinoline II was prepared from z-chloroquinoline in 3-steps. In 5-HT5a receptor binding assays, 80-examples of compds. I exhibited Ki values ≤ 300nM.

T 927891-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(preparation of aminoquinolines and related compds. 5-ht5 receptor inhibitors)

RN 927891-10-9 CAPLUS

CN 2-Quinolinamine, 3-[(2-methoxyphenyl)methyl]-6-(4-methyl-1-piperazinyl)-, 2-butenedioate (1:2) (CA INDEX NAME)

CM

1

CRN 927891-09-6 CMF C22 H26 N4 O

CM 2

CRN 6915-18-0 CMF C4 H4 O4 HO2C-CH-CH-CO2H

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

CASREACT 145:8187; MARPAT 145:8187

- AN 2006:493996 CAPLUS
- DN 145:8187
- TI Preparation of isotopomeric piperazine-containing ligands labeling and diagnostic imaging of 5-HT6 receptors
- IN Gee, Antony David; Martarello, Laurent; Johnson, Christopher Norbert; Witty, David R.
- PA Glaxo Group Limited, UK
- SO PCT Int. Appl., 17 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

FAN.	PATENT NO.																	
	PA:	TENT :	NO.			KIN	D	DATE			APPL:						ATE	
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			VN,	YU,	ZA,	ZM,	zw											
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			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR.	BF,	BJ,
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		1824						2007									0051	
		R:						CZ,										
								LV,		NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR
PRAI	GB	2004	-255	48		A		2004	1119									
	WO	2005	-EP1:	2463		W		2005	1117									

OS GI

AB Piperazine-containing ligands [1, Rl = 3H, 11C, 13N, 150, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; R2 = F; or R1 = C1-4 (fluoro)alkyl and R2 = 3H, 11C, 13M, 150, 76Br, 18 F, 123I, 125I, 131I, 75Br, 76Br, 77Br, 82Br, 211At; e.g., (11C-N-methyl)-3-[(3-fluorophenyl)sulfonyl]-8-[4-methyl-1-piperazinyl)quinoline; 5-HT6 receptor pKi 9.82], which are useful for the labeling and diagnostic imaging of 5-HT6 receptors functionality and the treatment of CNS related disorders, are prepared

IT 607743-50-0

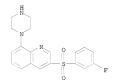
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of isotopomeric piperazine-containing ligands labeling

and diagnostic imaging of 5-HT6 receptors)

Ι

RN 607743-50-0 CAPLUS

CN Quinoline, 3-[(3-fluorophenyl)sulfonyl]-8-(1-piperazinyl)- (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:395276 CAPLUS

DN 142:430310

TI Process for the preparation of a crystal polymorphic form of 3-phenylsulfonyl-8-piperazin-1-ylquinoline

IN Gladwin, Asa Elisabeth

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 18 pp. CODEN: PIXXD2

Patent DT LA English

FAN.CNT 1

		ENT NO.										LICAT						
PI												2004-						
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS.	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT.	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
				TD,														
	ΑU	2004	2838	3805														
		2540										2004-						
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	EP	1667																
		R:										IT,						PT,
												CZ,						
	CN	1856	471			A		2006	1101		CN 3	2004-	8002	7527		2	0040	923
	BR	2004	0146	78		A		2006	1128		BR 2	2004- 2006-	1467	В		2	0040	923
	JP	2007	5067	02		т		2007	0322		JP 2	2006-	5273	73		2	0040	923
	IN	2006	DNO0	970		A		2007	0817		IN :	2006- 2006-	DN97	0		2	0060	224
												2006-						
		2007										2006-						
	ИО	2006	0017	91		A		2006	0424		NO 3	2006-	1791			2	0060	124
PRAI			2003-22629															
	WO	2004	-EP1	0843		W		2004	0923									

OS CASREACT 142:430310 AB

Polymorphic crystalline forms of 3-phenylsulfonyl-8-piperazin-1-ylquinoline are synthesized, characetrized, and claimed in the treatment of CNS (e.g., schizophrenia) and other disorders.

607742-69-8P, 3-Phenylsulfonyl-8-piperazin-1-ylquinoline RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for the preparation of a crystal polymorphic form of

3-phenylsulfonyl-8-piperazin-1-ylquinoline) RN 607742-69-8 CAPLUS

CN Quinoline, 3-(phenylsulfonyl)-8-(1-piperazinyl)- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
L4
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AN 2005:300407 CAPLUS

142:373864 DN

ΤI Preparation of piperazinyl-quinoline derivatives useful for the treatment of CNS disorders

TN Johnson, Christopher Norbert; Moss, Stephen Frederick; Witty, David R.

PA Glaxo Group Limited, UK; Witty, David R

so PCT Int. Appl., 20 pp.

CODEN: PIXXD2

Patent DT LA English

		TENT						DATE										
PI		2005																
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
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			SN,	TD,	TG													
		1663									EP 2	004-	7870	37		2	0040	923
	EP	1663	981			B1		2007	0718									
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	JP	2007																
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		2007									US 2	006-	5726	71		2	0060	320
PRAI	GB	2003	-225	10		A		2003	0925									
	WO	2004	-EP1	0845		W		2004	0923									
OS	CAS	REAC	T 14	2:37	3864	; MAI	RPAT	142	:3731	864								

GT

AB The title compds. I [Rl = H, alkyl, alkylaryl, etc.; R2 = H, alkyl; m = 1-4; R3-R5 = H, halo, CN, etc.; n = 1-3; p = 1-2; J = CH2, CO, O, etc.; h = (un)substituted (heterolaryl] and their pharmaceutically acceptable salts, useful in the treatment of CNS and other disorders such as depression, anxiety, etc., were prepared E.g., a multi-step synthesis of II.HCl, starting from 8-chloroquinoline, was given. Three exemplified compds. I were tested and showed affinity for the 5-HT6 receptor, having pKi values > 6.0 at human cloned 5-HT6 receptors. More particularly, the compound II exhibited pKi > 7.5. The pharmaceutical composition comprising the compound I is claimed.

IT 849586-10-3P, 3-[(3-Chlorophenyl]methyl]-8-(1piperazinyl)quinoline monohydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinyl-quinolines for treating CNS disorders) RN 849586-10-3 CAPLUS

CN Quinoline, 3-[(3-chlorophenyl)methyl]-8-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
    ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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AN 2005:260030 CAPLUS

DN 142:336394

Preparation of 8-(1-piperazinyl) quinolines for treatment of CNS disorders Johnson, Christopher Norbert; Witty, David R. ΤI

IN Glaxo Group Limited, UK PA

PCT Int. Appl., 33 pp. SO

CODEN: PIXXD2

Patent DT

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FAN.		1	
	DATE	T33.177	

FAN.	CNT	1																
		TENT :																
PΙ	WO	2005	0261	25		A1		2005	0324		WO 2	004-1	EP10	129		2	0040	909
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK.	MN.	MW.	MX.	MZ.	NA.	NI.
			NO.	NZ.	OM.	PG.	PH.	PI.,	PT.	RO.	RU,	SC.	SD.	SE.	SG.	SK.	SL	SY.
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	EP	1663																
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
	JP	2007	5050	75		T		2007	0308		JP 2	006-	5257	73		2	0040	909
	US	2006	2873	34		A1		2006	1221		US 2	006-	5714	05		2	0060	310
PRAI	GB	2003	-214	73		A		2003	0912									
		2004																
os		SREAC								394								
GT	011	011210		2.00	0051	,												

Page 15

- AB Title compds. I [RI = (un)substituted alkyl, alkylcycloalkyl, alkoxyalkyl, alkyl(hetero)aryl, alkylheterocyclyl; R2 = H or alkyl; m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; R3-R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, CONH2 and derivs; n = 1 3; p = 1-2; and their pharmaceutically acceptable salts] were prepared as SHT6 receptor antagonists in treatment of CNS disorders. Thus, condensation of 3-phenylsulfonyl-8-(piperazin-1-yl)quinoline (preparation given) with 4-fluorobenzaldehyde gave II. I were tested and showed good affinity for the 5-HT6 receptor, having pRi values > 7.0 at human cloned 5-HT6 receptors.
- IT 848396-13-4P, 8-[4-(4-Fluorobenzyl)piperazin-1-yl]-3phenylsulfonylquinoline R1: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders)
- RN 848396-13-4 CAPLUS
  CN Quinoline, 8-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-3-(phenylsulfonyl)-

(CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:216810 CAPLUS
- DN 142:298134
- TI Preparation of 8-(1-piperazinyl)quinolines for treatment of CNS disorders
- IN Johnson, Christopher Norbert; Moss, Stephen Frederick; Tait, Malcolm M.; Witty, David R.
- PA Glaxo Group Limited, UK
- SO PCT Int. Appl., 24 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT	1																
	PA:	TENT :	NO.			KIN	D	DATE								D	ATE	
							-									-		
PI	WO	2005	0215	30		A1		2005	0310		WO 2	004-	EP97:	24		2	0040	826
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
				TD,														
	EP	1660	483			A1		2006	0531		EP 2	004-	7646	87		2	0040	826
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			IE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR	
	JP	2007	5041	14		T		2007	0301		JP 2	006-	5243	47		2	0040	826
PRAI	GB	2003	-203	20		A		2003	0829									
	WO	2004	-EP9	724		W		2004	0826									
os		RPAT																
GI					-													

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [RI = H, (un)substituted cyclo/alkyl, alkylaryl, alkylateroaryl, alkylheteroarcyly; R2 = H, alkyl, m = 1-4; when m > 1, two R2 groups may be linked to form a CH2, (CH2)2 or (CH2)3 group; when R1 = alkyl, R1 may optionally be linked to R2 to form a CH2)2, (CH2)3 or (CH2)4 group; R3, R4, R5 = independently H, halo, CN, CF3, OCF3, alkyl, alkoxy, alkanoyl, COMH2 and derivs.; n = 1 3; X = (CH2)p; p = 1-2; Ra = H, alkk(en)yl, alkyl/cycloalkyl; Rb = H, alkyl, (un)substituted alkylaryl, alkylheteroaryl; or RaNRb = (un)substituted heterocyclyl; and their pharmaceutically acceptable salts] were prepared for use as 5H16 receptor antagonists in treatment of CNS disorders. Thus, II=RCL was prepared by oxidation of 8-chloro-3-quinolinethiol (preparation given), oxidative cleavage of
- disulfide, amination of the chloride with 1,1-dimethylethyl
  1-piperazinecarboxylate and Boc-deprotection. I were tested and showed
  good affinity for the 5-HT6 receptor, having pKi values > 7.5 at
  human cloned 5-HT6 receptors.

  IT 88/77/2-11-1P. 3/(2.3-pi)wdpc-1H-indo]-1-v])sn|fnpv|]-8-(1-
- IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-piperazinyl)quinoline monohydrochloride
  RL: PAC (Pharmacological activity); RCI (Reactant); SPN (Synthetic
  preparation); THU (Therapeutic use); BIOL (Biological study); PREP
  (Preparation); RACT (Reactant or reagent); USES (Uses)
  (druc candidate; preparation of piperazinylquinolines for treatment of CNS

(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)

- RN 847727-11-1 CAPLUS
- CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

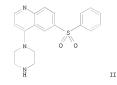
## ● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:2873 CAPLUS
- DN 140:42036
  - I Preparation of pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders
- IN Johansson, Gary; Jenmalm-Jensen, Annika; Beierlein, Katarina
- PA Biovitrum AB, Swed.
- SO PCT Int. Appl., 187 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT	1																
		TENT :				KIN		DATE				ICAT					ATE	
PI		2004																
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
								DK,										
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								SC,						ТJ,	TM,	TN,	TR,	TT,
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	CN	1662	521			A		2005	0831			003-						
	JP	JP 2005536551				T		2005	1202			004 -						
	ZA	A 2004009030			A		2006	0222		ZA 2	004-	9030			2	0030	619	

	CN 1907982 NZ 536600 CN 101081845 MX 2004PA12914 IN 2004CN03052 NO 2005000294	A A A A A	20070207 20070831 20071205 20050331 20060217 20050204	CN 2006-10108036 NZ 2003-536600 CN 2006-10101528 MX 2004-PA12914 IN 2004-CN3052 NO 2005-294	20030619 20030619 20030619 20041217 20041231 20050119
PRAI	IN 2007CN02849	A A P A P A P A3 W	20071012 20020620 20020711 20020826 20021001 20021217 20030210 20030423 20030619 20030619 20041231	IN 2007-CN2849	20070627
GI	MAKPAI 140:42036				



- AB Title compds. I [ring B = same as ring A, 5-membered (un)substituted heterocycle/heteroaryl; W = N, CH, C provided that not more than 3 W groups are N in both rings A, B together; P = aminosulfonyl, sulfonamido, etc.; X, Y = H, halo, alkyl, CF3, etc.; R3 = piperazinyl, etc.] are prepared For instance, 6-benzenesulfonyl-4-chloroquinoline is reacted with piperazine (CH3CN, 80°, overnight) to give II isolated as the HCl salt. II has Ki = 10 nM for the human 5-HT6 receptor. I are useful for the treatment of conditions relating to obesity, type II diabetes and CNS disorders.
- IIT 636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5yl]-1,4-diazepane-1-carboxylate R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 636997-89-2 CAPLUS CN 1H-1,4-Diazepine-1-

1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[4-(1,1-dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

T B

- 2003:777764 CAPLUS AN
- DN 139:292163
- TI Preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders
- IN Ahmed, Mahmood; Johnson, Christopher Norbert; Jones, Martin C.; MacDonald, Gregor James; Moss, Stephen Frederick; Thompson, Mervyn; Wade, Charles Edward; Witty, David
- Glaxo Group Limited, UK PA

JP 2005531518 TW 268928 CN 1656075

- SO PCT Int. Appl., 48 pp.
- CODEN: PIXXD2 DT Patent
- LA English FAN.CNT 1

PAIN.	CNI	1																
		ENT I				KIN	D	DATE									ATE	
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PI		20030				A2		2003			WO 2	003-	EP31	97		2	0030	325
	WO	20030	0805	80		A3		2004	0205									
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	CA	2479	786			A1		2003	1002		CA 2	003-	2479	786		2	0030	325
	AU	20032	2191	03		A1		2003	1008		AU 2	003-	2191	03		2	0030	325
	EP	14972	266			A2		2005	0119		EP 2	003-	7148	89		2	0030	325
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	BR	20030	0086	96		A		2005	0125		BR 2	003-	8696			2	0030	325
	CN	CN 1656075						2005			CN 2	003-	8116	44		2	0030	325
	JP	2005531518			T		2005	1020		JP 2	003-	5783	35		2	0030	325	

20061221

TW 2003-92106558

20030325

	RU 2309154	C2	20071027	RU 20	004-131641	20030325
	ZA 2004007320	A	20051004	ZA 20	004-7320	20040912
	IN 2004DN02703	A	20070302	IN 20	004-DN2703	20040914
	MX 2004PA09318	A	20050125	MX 20	004-PA9318	20040924
	US 2005124628	A1	20050609	US 20	04-509078	20040927
	NO 2004004588	A	20041025	NO 20	004-4588	20041025
PRAI	GB 2002-7289	A	20020327			
	GB 2002-25678	A	20021104			
	WO 2003-EP3197	W	20030325			
os	MARPAT 139:292163					

OS MARPAT 139:292163 GI

- AB Title compds. I [R1, R2 = H, alky1; R1R2, R22 = (CH2)2-4; R3-R5 = H, halogen, CN, CF3, OCF3, alky1, alkoxy, alkanoy1, (un)substituted CONH2; A = (un)substituted ary1; m = 1-4; n = 1-3, p = 1, 2] were prepared for use as H16 receptor antagonists in treatment of CNS disorders. Thus, 8-iodo-3-phenylsulfonylquinoline was prepared from 8-nitroquinoline and was treated with 1-tert.-butoxycarbonylpiperazine, followed by deblocking, to give 3-phenylsulfonyl-8-piperazinoquinoline.
  - II 607743-10-2P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
    (Reactant or reagent)

(preparation of arylsulfonyl(diazacycloalkyl)quinolines for treatment of CNS disorders)

RN 607743-10-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-(phenylsulfonyl)-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

## => d 14 7-8 hitstr

- L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
  IT 847727-11-1P, 3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl]-8-(1-
- 11 54/12/-11-1P, 3-[(2,3-Dinydro-1H-Indoi-1-y1]Sulfonyl]-8-(1piperazinyl)quinoline monohydrochloride RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)
- RN 847727-11-1 CAPLUS
- CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperazinyl)-3-quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## HC1

IT 847727-12-2P, 3-[(5-Fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl)8-(1-piperazinyl)quinoline monohydrochloride 847727-15-5P,
3-[(2,3-Dihydro-1H-indol-1-yl)sulfonyl)-8-(4-methyl-1-

#### 10/572671

CN

piperazinyl)quinoline monohydrochloride 847727-16-6P, 3-[(2,3-b)hydro-lH-indol-1-yl)guifonyl]-8-[(1-piperazinyl)quinoline 847727-17-7P, 3-[(5-Fluoro-2,3-dihydro-lH-isoindol-2-yl)guifonyl]-8-(1-piperazinyl)quinoline 847727-20-2P, 3-[(2,3-b)hydro-lH-indol-1-yl)guifonyl]-8-(4-methyl-1-piperazinyl)quinoline 847527-20-2P, SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); FREF (Preparation); USES

(drug candidate; preparation of piperazinylquinolines for treatment of CNS disorders)

RN 847727-12-2 CAPLUS

1H-Isoindole, 5-fluoro-2,3-dihydro-2-[[8-(1-piperaziny1)-3-quinoliny1]sulfony1]-, monohydrochloride (9CI) (CA INDEX NAME)

# ● HCl

RN 847727-15-5 CAPLUS CN 1H-Indole, 2,3-dihye

1H-Indole, 2,3-dihydro-1-[[8-(4-methyl-1-piperazinyl)-3quinolinyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

- RN 847727-16-6 CAPLUS
- CN 1H-Indole, 2,3-dihydro-1-[[8-(1-piperaziny1)-3-quinoliny1]sulfony1]- (9CI) (CA INDEX NAME)

- RN 847727-17-7 CAPLUS
- CN 1H-Isoindole, 5-fluoro-2,3-dihydro-2-[[8-(1-piperazinyl)-3quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

- RN 847727-20-2 CAPLUS
- CN 1H-Indole, 2,3-dihydro-1-[[8-(4-methyl-1-piperazinyl)-3-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

IT 847727-30-4P, 1,1-Dimethylethyl 4-[3-[(2,3-dihydro-1H-indol-1-yl)sulfonyl]-8-quinolinyl]-1-piperazinecarboxylate 847727-31-5P, 1,1-Dimethylethyl 4-[3-[(5-fluoro-2,3-dihydro-1H-isoindol-2-yl)sulfonyl]-8-quinolinyl]-1-piperazinecarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazinylquinolines for treatment of CNS disorders)

RN 847727-30-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[(2,3-dihydro-1H-indol-1-yl)sulfonyl]-8quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 847727-31-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[(5-fluoro-1,3-dihydro-2H-isoindol-2-yl)sulfonyl]-8-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

II 636997-89-2P, tert-Butyl 4-[3-[(4-tert-butylphenyl)thio]quinolin-5yl]-1,4-diazepane-1-carboxylate 636997-90-5P, tert-Butyl 4-[3-[(4-isopropylphenyl)thio]quinolin-5-yl]-1,4-diazepane-1-carboxylate RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphthelene and pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders)

RN 636997-89-2 CAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[3-[[4-(1,1-dimethylethyl)phenyl]thio]-5-quinolinyl]hexahydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 636997-90-5 CAPLUS CN 1H-1,4-Diazepine-1-

1H-1,4-Diazepine-1-carboxylic acid, hexahydro-4-[3-[[4-(1-methylethyl)phenyl]thio]-5-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

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ENTRY
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE
ENTRY
CA SUBSCRIBER PRICE
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